

## COSMETIC COMPOSITIONS WITH HYDROXY AMINE SALTS OF MALONIC ACID

### BACKGROUND OF THE INVENTION

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#### Field of the Invention

[0001] The invention concerns cosmetic compositions containing malonic acid salts which combat the signs of skin aging.

#### 10 The Related Art

[0002] A soft, supple and flexible skin has a marked cosmetic appeal and is an attribute of normal functioning epidermis. As human skin ages with advancing years, the epidermis can become folded, ridged or furrowed to form wrinkles. These signal loss of youthful appearance and herald the transition to old age. Exposure to excessive doses of sunlight accelerates the transition process. Also, the outer layer of the epidermis known as the stratum corneum can become dry and flaky following exposure to cold weather or excessive contact with detergents or solvents. Loss of skin moisture thereby results and the skin begins to lose the soft, supple and flexible characteristics.

15 [0003] Emollients such as fats, phospholipids and sterols have in the past been used to soften wrinkled or dry skin. These emollients are only partially effective as a remedy for skin in poor condition.

- [0004] The use of hydroxy carboxylic acids for enhancing the quality of human skin has been known for some time. There is no doubt that alpha-hydroxy carboxylic acids are effective much beyond the common emollients.
- 5    [0005] U.S. Patent 4,424,234 (Alderson et al.) discloses skin treatment compositions incorporating alpha-hydroxycaproic acid and alpha-hydroxycaprylic acid or mixtures thereof in compositions that have a pH value of less than 7, usually from 2 to 4. Yu and Van Scott have patented widely in this area. For instance, U.S. Patent 4,105,782 reports amines or ammonium salts of alpha-hydroxy carboxylic acids in the treatment of acne or dandruff. In U.S. Patent 4,105,783 and U.S. Patent 4,197,316, these compounds are suggested for the treatment of dry skin. U.S. Patent 4,234,599 discloses the use of alpha-hydroxy carboxylic acids, their esters or amine salts in the treatment of keratoses. More recently, U.S. Patent 5,091,171 focused attention on these compounds as being effective against age spots, wrinkles and aging related skin changes.
- 10    [0006] While hydroxy carboxylic acids hold much therapeutic promise, the materials have been found to irritate human skin on repeated topical applications. The irritation may range from a sensation of tingling, itching and burning to clinical signs of redness and peeling. Causes for such irritation have been linked to the lowering of pH in the stratum corneum of human skin. Low pH has been suggested as provoking disturbances in intercorneocyte bondings resulting in adverse skin reactions, specially in some individuals with sensitive skin.
- 15    [0007]
- 20    [0008]
- 25    [0009]

- [0007] Organic acids other than alpha-hydroxy functionalized have been disclosed in the cosmetic literature. For instance, U.S. Patent 5,641,495 (Jokura et al.) discloses in combination a ceramide or pseudoceramide, a dicarboxylic acid and a salt of a dicarboxylic acid. The Examples illustrate sodium and potassium salts of succinic acid. Lower molecular weight dicarboxylic acids such as malonic acid may also be utilized. Although excellent moisturization and little accompanying irritation occurs, there is no suggestion that this system combats signs of aging such as advent of fine lines and wrinkles. Improvements in the general anti-aging technology of skin remains as an unfulfilled need of the consumer.
- [0008] Accordingly, it is an advantage of the present invention to provide new cosmetic ingredients in compositions which are effective at controlling and even eliminating the signs of aging, particularly fine lines, wrinkles, sagging skin, poor tone and age spots.

## SUMMARY OF THE INVENTION

- [0009] A cosmetic composition is provided which includes:
- (i) from about 0.0001 to about 30% by weight of a mono-hydroxy substituted amine salt of malonic acid; and
  - (ii) from about 1 to about 99.9% by weight of a cosmetically acceptable carrier.

[00010] Furthermore, the present invention provides a set of new cosmetically active ingredients. In particular, the dimethylaminoethanol salts of malonic acid have proven very effective.

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## DETAILED DESCRIPTION OF THE INVENTION

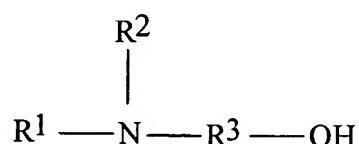
[00011] We have now found a class of salts which are at least as effective as alpha-hydroxy carboxylic acids. These salts are based on a select dicarboxylic acid neutralized with a select class of amines to form the active salt ingredient. These salts may either be partially or 10 fully neutralized carboxylic salts as represented by general formulas (I) and (II):



I

II

15 wherein X is a protonated salt of a mono-hydroxy substituted amine, the amine in non-protonated form having general formula III:



(III)

wherein R<sup>1</sup> and R<sup>2</sup> are branched or unbranched C<sub>1</sub>-C<sub>30</sub> radicals selected from the group consisting of alkyl, cycloalkyl, alkenyl, aryl, alkylaryl, alkoxyalkyl and combinations thereof;

5           R<sup>3</sup> is a branched or unbranched C<sub>1</sub>-C<sub>30</sub> radical selected from the group consisting of alkylene, cycloalkylene, arylene and combinations thereof; and

R<sup>1</sup> with R<sup>2</sup> can optionally form a ring and independently R<sup>1</sup> with R<sup>3</sup> can form a ring.

[00012]       Illustrative mono-hydroxy amines include dimethylaminoethanol, diethylaminoethanol, diisopropylaminoethanol, ethylmethylaminoethanolamine, methylbutylaminoethanolamine, dimethylamino-methanol, diethylaminomethanol, methylethylaminomethanol, dimethylaminopropyl alcohol, diethylaminopropanol, dipropylaminopropanol, ethylpropylaminopropanol, diphenylaminoethanol, methylphenylaminoethanol, para-toluoylmethylaminoethanol, dimethylaminophenylethanol, piperidinylethanol, 2-methylpyridinylethanol, 2-pyrrolidonylethanol and pyrrolylethanol. Most preferred is dimethylaminoethanol (DMAE).

[00013]       Amounts of the amine neutralized malonate salt may range from about 0.0001 to about 30%, preferably from about 0.1 to about 15%, more preferably from about 0.5 to about 10%, optimally from about 1 to about 8% by weight of the cosmetic composition.

- [00014] The present invention can utilize as the active ingredient salt I, salt II or mixtures of these salts. When mixtures are present the molar ratio of mono-salt I to di-salt II may range from about 1000:1 to about 1:1000, preferably from about 10:1 to about 1:500, more preferably from about 2:1 to about 1:200, optimally from about 1:1 to about 1:20.
- 5 [00015] Compositions of this invention may have a pH ranging from about 2.5 to about 8.5, preferably from about 3 to about 8, optimally from about 4 to less than about 7.
- 10 [00016] Compositions of this invention will also include a cosmetically acceptable carrier. Amounts of the carrier may range from 1 to 99.9%, preferably from about 70 to about 95%, optimally from about 80 to about 90%. Among the useful carriers are water, emollients, fatty acids, fatty alcohols, humectants, thickeners and combinations thereof. The carrier may be aqueous, anhydrous or an emulsion. Preferably the compositions are aqueous, especially water and oil emulsions of the W/O or O/W variety. Water when present may be in amounts ranging from about 5 to about 95%, preferably from about 20 to about 70%, optimally from about 35 to about 60% by weight.
- 15 [00017] Emollient materials may serve as cosmetically acceptable carriers. These may be in the form of silicone oils, synthetic esters and hydrocarbons. Amounts of the emollients may range anywhere from about 0.1 to about 95%, preferably between about 1 and about 50% by weight.
- 20 [00018]
- 25 [00019]

[00018] Silicone oils may be divided into the volatile and nonvolatile variety.

5                   The term "volatile" as used herein refers to those materials which have a measurable vapor pressure at ambient temperature. Volatile silicone oils are preferably chosen from cyclic (cyclomethicone) or linear polydimethylsiloxanes containing from 3 to 9, preferably from

4 to 5, silicon atoms.

[00019] Nonvolatile silicone oils useful as an emollient material include

10                  polyalkyl siloxanes, polyalkylaryl siloxanes and polyether siloxane copolymers. The essentially nonvolatile polyalkyl siloxanes useful herein include, for example, polydimethyl siloxanes with viscosities of from about  $5 \times 10^{-6}$  to  $0.1 \text{ m}^2/\text{s}$  at  $25^\circ\text{C}$ . Among the preferred nonvolatile emollients useful in the present compositions are the polydimethyl siloxanes having viscosities from about  $1 \times 10^{-5}$  to about  $4 \times 10^{-4} \text{ m}^2/\text{s}$  at  $25^\circ\text{C}$ .

15 [00020] Another class of nonvolatile silicones are emulsifying and non-emulsifying silicone elastomers. Representative of this category is Dimethicone/Vinyl Dimethicone Crosspolymer available as Dow Corning 9040, General Electric SFE 839, and Shin-Etsu KSG-18. Silicone waxes such as Silwax WS-L (Dimethicone Copolyol Laurate) may also be useful.

20 [00021] Among the ester emollients are:

(1) Alkenyl or alkyl esters of fatty acids having 10 to 20 carbon atoms. Examples thereof include isoarachidyl neopentanoate,

isononyl isonanonoate, oleyl myristate, oleyl stearate, and  
oleyl oleate.

- (2) Ether-esters such as fatty acid esters of ethoxylated fatty alcohols.
- 5 (3) Polyhydric alcohol esters. Ethylene glycol mono and di-fatty acid esters, diethylene glycol mono- and di-fatty acid esters, polyethylene glycol (200-6000) mono- and di-fatty acid esters, propylene glycol mono- and di-fatty acid esters, polypropylene glycol 2000 monooleate, polypropylene glycol 2000 monostearate, ethoxylated propylene glycol monostearate, glyceryl mono- and di-fatty acid esters, polyglycerol poly-fatty esters, ethoxylated glyceryl mono-stearate, 1,3-butylene glycol monostearate, 1,3-butylene glycol distearate, polyoxyethylene polyol fatty acid ester, sorbitan fatty acid esters, and polyoxyethylene sorbitan fatty acid esters are satisfactory polyhydric alcohol esters. Particularly useful are pentaerythritol, trimethylolpropane and neopentyl glycol esters of C<sub>1</sub>-C<sub>30</sub> alcohols.
- 15 (4) Wax esters such as beeswax, spermaceti wax and tribehenin wax.
- 20 (5) Sterols esters, of which cholesterol fatty acid esters are examples thereof.
- (6) Sugar ester of fatty acids such as sucrose polybehenate and sucrose polycottonseedate.

- [00022] Hydrocarbons which are suitable cosmetically acceptable carriers include petrolatum, mineral oil, C<sub>11</sub>-C<sub>13</sub> isoparaffins, polyalphaolefins, and especially isohexadecane, available commercially as Permethyl 101A from Presperse Inc.
- 5 [00023] Fatty acids having from 10 to 30 carbon atoms may also be suitable as cosmetically acceptable carriers. Illustrative of this category are pelargonic, lauric, myristic, palmitic, stearic, isostearic, hydroxystearic, oleic, linoleic, ricinoleic, arachidic, behenic and erucic acids.
- 10 [00024] Fatty alcohols having from 10 to 30 carbon atoms are another useful category of cosmetically acceptable carrier. Illustrative of this category are stearyl alcohol, lauryl alcohol, myristyl alcohol and cetyl alcohol.
- 15 [00025] Humectants of the polyhydric alcohol-type can be employed as cosmetically acceptable carriers. Typical polyhydric alcohols include glycerol, polyalkylene glycols and more preferably alkylene polyols and their derivatives, including propylene glycol, dipropylene glycol, polypropylene glycol, polyethylene glycol and derivatives thereof, sorbitol, hydroxypropyl sorbitol, hexylene glycol, 1,3-butylene glycol, isoprene glycol, 1,2,6-hexanetriol, ethoxylated glycerol, propoxylated glycerol and mixtures thereof. The amount of humectant may range anywhere from 0.5 to 50%, preferably between 1 and 15% by weight of the composition.
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- [00026] Thickeners can be utilized as part of the cosmetically acceptable carrier of compositions according to the present invention. Typical thickeners include crosslinked acrylates (e.g. Carbopol 982®), hydrophobically-modified acrylates (e.g. Carbopol 1382®), cellulosic derivatives and natural gums. Among useful cellulosic derivatives are sodium carboxymethylcellulose, hydroxypropyl methocellulose, hydroxypropyl cellulose, hydroxyethyl cellulose, ethyl cellulose and hydroxymethyl cellulose. Natural gums suitable for the present invention include guar, xanthan, sclerotium, carrageenam, pectin and combinations of these gums. Inorganics may also be utilized as thickeners, particularly clays such as bentonites and hectorites, fumed silicas, and silicates such as magnesium aluminum silicate (Veegum®). Amounts of the thickener may range from 0.0001 to 10%, usually from 0.001 to 1%, optimally from 0.01 to 0.5% by weight.
- [00027] Cosmetic compositions of the present invention may be in any form. These forms may include lotions, creams, roll-on formulations, sticks, mousses, aerosol and non-aerosol sprays and pad-applied formulations.
- [00028] Surfactants may also be present in cosmetic compositions of the present invention. Total concentration of the surfactant when present may range from about 0.1 to about 40%, preferably from about 1 to about 20%, optimally from about 1 to about 5% by weight of the composition. The surfactant may be selected from the group consisting of anionic, nonionic, cationic and amphoteric actives. Particularly preferred nonionic surfactants are those with a C<sub>10</sub>-C<sub>20</sub>

- fatty alcohol or acid hydrophobe condensed with from 2 to 100 moles of ethylene oxide or propylene oxide per mole of hydrophobe; C<sub>2</sub>-C<sub>10</sub> alkyl phenols condensed with from 2 to 20 moles of alkylene oxide; mono- and di-fatty acid esters of ethylene glycol; fatty acid monoglyceride; sorbitan, mono- and di- C<sub>8</sub>-C<sub>20</sub> fatty acids; and polyoxyethylene sorbitan as well as combinations thereof. Alkyl polyglycosides and saccharide fatty amides (e.g. methyl gluconamides) are also suitable nonionic surfactants.
- 5 [00029] Preferred anionic surfactants include soap, alkyl ether sulfates and sulfonates, alkyl sulfates and sulfonates, alkylbenzene sulfonates, alkyl and dialkyl sulfosuccinates, C<sub>8</sub>-C<sub>20</sub> acyl isethionate, C<sub>8</sub>-C<sub>20</sub> alkyl ether phosphates, C<sub>8</sub>-C<sub>20</sub> sarcosinates and combinations thereof.
- 10 [00030] Sunscreen actives may also be included in compositions of the present invention. Particularly preferred are such materials as ethylhexyl p-methoxycinnamate, available as Parsol MCX®, Avobenzene, available as Parsol 1789® and benzophenone-3, also known as Oxybenzone. Inorganic sunscreen actives may be employed such as microfine titanium dioxide, zinc oxide, polyethylene and various other polymers. Amounts of the sunscreen agents when present may generally range from 0.1 to 30%, preferably from 2 to 20%, optimally from 4 to 10% by weight.
- 15 [00031] Preservatives can desirably be incorporated into the cosmetic compositions of this invention to protect against the growth of potentially harmful microorganisms. Suitable traditional preservatives for compositions of this invention are alkyl esters of
- 20 [00032]
- 25 [00033]

para-hydroxybenzoic acid. Other preservatives which have more recently come into use include hydantoin derivatives, propionate salts, and a variety of quaternary ammonium compounds. Cosmetic chemists are familiar with appropriate preservatives and routinely choose them to satisfy the preservative challenge test and to provide product stability. Particularly preferred preservatives are phenoxyethanol, methyl paraben, propyl paraben, imidazolidinyl urea, sodium dehydroacetate and benzyl alcohol. The preservatives should be selected having regard for the use of the composition and possible incompatibilities between the preservatives and other ingredients in the emulsion. Preservatives are preferably employed in amounts ranging from 0.01% to 2% by weight of the composition.

[00032] Compositions of the present invention may also contain vitamins. Illustrative water-soluble vitamins are Niacinamide, Vitamin B<sub>2</sub>,

Vitamin B<sub>6</sub>, Vitamin C and Biotin. Among the useful water-insoluble vitamins are Vitamin A (retinol), Vitamin A Palmitate, Ascorbyl Tetraisopalmitate, Vitamin E (tocopherol), Vitamin E Acetate and DL-panthenol. Total amount of vitamins when present in compositions according to the present invention may range from 0.001 to 10%, preferably from 0.01% to 1%, optimally from 0.1 to 0.5% by weight.

[00033] Another adjunct ingredient can be that of an enzyme. Particularly preferred is superoxide dismutase, commercially available as Biocell SOD from the Brooks Company, USA.

- [00034] Skin lightening agents may be included in the compositions of the invention. Illustrative substances are placental extract, lactic acid, niacinamide, arbutin, kojic acid, resorcinol and derivatives including 4-substituted resorcinols and combinations thereof. Amounts of these agents may range from about 0.1 to about 10%, preferably from about 0.5 to about 2% by weight of the compositions.
- [00035] Desquamation agents are further optional components. Illustrative are the alpha-hydroxycarboxylic acids and beta-hydroxycarboxylic acids. Among the former are salts of glycolic acid, lactic acid and malic acid. Salicylic acid is representative of the beta-hydroxycarboxylic acids. Amounts of these materials when present may range from about 0.1 to about 15% by weight of the composition.
- [00036] A variety of herbal extracts may optionally be included in compositions of this invention. Illustrative are green tea, chamomile, licorice and extract combinations thereof. The extracts may either be water soluble or water-insoluble carried in a solvent which respectively is hydrophilic or hydrophobic. Water and ethanol are the preferred extract solvents.
- [00037] Anti-microbial agents may also be included in the compositions of this invention. Illustrative are trichlosan, trichlocarban, Octopyrox® and zinc pyrithione. Amounts may range from about 0.01 to about 5%, preferably from about 0.1 to about 0.5% by weight of the composition.

- [00038] Colorants, fragrances, opacifiers and abrasives may also be included in compositions of the present invention. Each of these substances may range from about 0.05 to about 5%, preferably between 0.1 and 3% by weight.
- 5 [00039] The term "comprising" is meant not to be limiting to any subsequently stated elements but rather to encompass non-specified elements of major or minor functional importance. In other words the listed steps, elements or options need not be exhaustive. Whenever the words "including" or "having" are used, these terms  
10 are meant to be equivalent to "comprising" as defined above.
- [00040] Except in the operating and comparative examples, or where otherwise explicitly indicated, all numbers in this description indicating amounts of material ought to be understood as modified by the word "about".
- 15 [00041] The following examples will more fully illustrate the embodiments of this invention. All parts, percentages and proportions referred to herein and in the appended claims are by weight unless otherwise illustrated.

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#### EXAMPLE 1

- [00042] A clinical study was conducted to compare dimethylaminoethanol (DMAE) malonate to ammonium glycolate as active cosmetic ingredients. The base formula for the comparative experiments is outlined under Table I.

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TABLE I

INGREDIENT	WEIGHT %
PHASE A	
Water	Balance
Disodium EDTA	0.05
Methyl Paraben	0.15
Magnesium Aluminum Silicate	0.60
Triethanolamine	1.20
PHASE B	
Xanthan Gum	0.20
Natrosol® 250HHR (ethyl cellulose)	0.50
Butylene Glycol	3.00
Glycerin	2.00
PHASE C	
Sodium Stearyl Lactylate	0.10
Glycerol Monostearate	1.50
Stearyl Alcohol	1.50
Isostearyl Palmitate	3.00
Silicone Fluid	1.00
Cholesterol	0.25
Sorbitan Stearate	1.00
Butylated Hydroxy Toluene	0.05
Vitamin E Acetate	0.01
PEG-100 Stearate	2.00
Stearic Acid	3.00
Propyl Paraben	0.10
Parsol MCX®	2.00
Caprylic/Capric Triglyceride	0.50
Hydroxycaprylic Acid	0.01
C12-15 Alkyl Octanoate	3.00
PHASE D	
Active	-
PHASE E	
Vitamin A Palmitate	0.10
Bisabolol	0.01
Vitamin A Acetate	0.01
Fragrance	0.03
Retinol 50C	0.02

[00043] The total formulations with ammonium glycolate and DMAE malonate active are identified in the Tables below as "PADC" and "DMAE", respectively. The PADC product is a state of the art alpha hydroxy acid formula which is currently in the market. This formula contains 8% Glycolic acid or 0.1053 equivalents, neutralized with 2.4% ammonia hydroxide which is 0.0395 equivalents, resulting in a final formula pH of 3.8. The DMAE formula contains 2.58% malonic acid or 0.0496 equivalents, neutralized with 3.20% DMAE which is 0.0358 equivalents, resulting in a final formula pH of 5.5. This resulted in Malonic acid being 72.2% neutralized by the amine.

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The clinical involved (49) panelists over a six week period. Panelists were required to apply each product to one half of their face. After application, the panelists were required to answer a series of questions regarding relative effectiveness of the products.

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TABLE II

Products:	Week 1 (n=49)			Week 3 (n=49)			Week 6 (n=47)		
	PADC	DMAE pH 5.5	no pref	PADC	DMAE pH 5.5	no pref	PADC	DMAE pH 5.5	No pref
Absorbed more easily	45	29	27	51	30	19	43	30	28
Felt less greasy	33	37	31	47	26	28	45	32	23
Felt lighter	40	44	17	42	39	19	51	36	13
Left skin feeling softer	16	29	55	23	19	58	15	28	57
Was milder	18	37	45	30	26	44	19	40	40
Left skin feeling smoother	16	31	53	21	23	56	19	28	53
Left skin looking smoother	20	27	53	21	16	63	19	26	55
Moisturized better	16	31	53	21	35	44	23	28	49
Helped to look firmer/tighter	18	18	63	28	9	63	28	11	62
Helped to feel healthier	16	22	61	23	16	61	19	21	60
Helped to feel firmer/tighter	18	25	57	24	7	69	25	13	62
Improved skin tone better	16	20	63	28	7	65	30	13	57
Made skin look better	12	29	59	23	14	63	28	23	49
Improved condition better	10	25	65	19	7	74	28	23	49
Helped to look younger	12	16	71	16	14	70	28	19	53
Left skin more radiant	10	20	69	16	12	72	28	17	55
Was less irritating	22	39	39	16	35	49	9	38	53
Was evening out tone/texture	12	16	71	19	7	74	25	15	60
Firmed skin better	10	25	65	19	12	70	23	19	57

Overall preference

Products:	Week 1			Week 3			Week 6		
	PADC	DMAE pH 5.5	no pref	PADC	DMAE pH 5.5	no pref	PADC	DMAE pH 5.5	No pref
Overall Preference	33	45	22	44	30	26	45	32	23

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- [00044] The effect of pH was evaluated with another set of panelists numbering (58) persons utilizing a formulation at pH 6.5. In this set, 2.05% or 0.0394 equivalents of Malonic acid was neutralized with 3.17% or 0.0356 equivalents of DMAE resulting in a formula with a pH of 6.5. In this formula the malonic acid was 90.35% neutralized with the DMAE. In both DMAE formulas the lower pKa malonic acid group
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was totally neutralized, depending on the amount of malonic acid present in each formula. The second higher pKa acid group was partially neutralized with the remaining DMAE equivalents to bring the pH up to the desired value. Results of that evaluation are listed under Table III.

Table III

Products: <b>PADC &amp; DMAE Malonate pH 6.5</b>	Week 1 (n=58)			Week 3 (n=58)			Week 6 (n=57)		
	PADC	DMAE pH 6.5	No pref	PADC	DMAE pH 6.5	No pref	PADC	DMAE pH 6.5	No pref
Absorbed more easily	52	31	17	54	23	23	53	30	17
Felt less greasy	47	36	17	54	25	21	49	35	16
Felt lighter	66	22	12	64	25	12	70	18	12
Left skin feeling softer	29	35	36	29	33	38	25	26	49
Was milder	26	48	26	23	42	35	21	46	33
Left skin feeling smoother	26	28	47	29	25	46	26	28	46
Left skin looking smoother	21	24	55	19	25	56	17	25	58
Moisturized better	26	36	38	29	35	37	25	44	32
Helped to look firmer/tighter	21	19	60	17	23	60	23	28	49
Helped to feel healthier	22	26	52	15	23	62	23	25	53
Helped to feel firmer/tighter	28	22	50	19	27	54	30	30	40
Improved skin tone better	17	24	59	14	19	67	14	19	67
Made skin look better	22	21	57	25	19	56	17	25	58
Improved condition better	19	22	59	17	21	62	18	28	54
Helped to look younger	16	17	67	15	19	65	14	28	58
Left skin more radiant	22	22	55	12	15	73	16	25	60
Was less irritating	10	45	45	10	42	48	12	39	49
Was evening out tone/texture	16	22	62	14	23	63	18	19	63
Firmed skin better	16	22	62	21	29	50	23	28	49

#### Overall Preference

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Products: <b>PADC &amp; DMAE Malonate pH 6.5</b>	Week 1			Week 3			Week 6		
	PADC	DMAE pH 6.5	no pref	PADC	DMAE pH 6.5	No pref	PADC	DMAE pH 6.5	No pref
Overall Preference	41	38	21	50	37	13	35	42	23

[00045] Based on the results of the clinical evaluations, it is evident that DMAE malonate is as effective as ammonium glycolate, the well-known, but irritation inducing active, in respect of improving the general condition of skin. Additionally, the clinical found that DMAE malonate was considerably less irritating than the ammonium glycolate composition. Yet, efficacy was not compromised at the higher pH, with the new material This is unexpected, as the effectiveness of typical alpha hydroxy acids or salts, are known to be less effective at pH greater than 4.

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## EXAMPLE 2

[00046] A water-in-oil topical liquid make-up foundation utilizing the malonate salts of the present invention is described in Table IV below.

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TABLE IV

INGREDIENT	WEIGHT %
PHASE A	
Cyclomethicone	9.25
Cetyl Octanoate	2.00
Dimethicone Copolyol	20.00
PHASE B	
Talc	3.38
Pigment (Iron Oxides)	10.51
Spheron L-1500 (Silica)	0.50
PHASE C	
Synthetic Wax Durachem 0602	0.10
Arachidyl Behenate	0.30
PHASE D	
Cyclomethicone	1.00
Trihydroxystearin	0.30
PHASE E	
Laureth-7	0.50
Propyl Paraben	0.25
PHASE F	
Fragrance	0.05
PHASE G	
Water	balance
DMAE Malonate	3.00
Methyl Paraben	0.12
Propylene Glycol	8.00
Niacinamide	4.00
Glycerin	3.00
Sodium Chloride	2.00
Sodium Dehydroacetate	0.30

### EXAMPLE 3

[00047] Illustrated herein is a skin cream incorporating the malonate salts of the present invention.

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TABLE V

INGREDIENT	WEIGHT %
Glycerin	6.93
Niacinamide	5.00
DMAE Malonate	5.00
Permethyl 101A <sup>1</sup>	3.00
Sepigel 305 <sup>2</sup>	2.50
Q2-1403 <sup>3</sup>	2.00
Isopropyl Isostearate	1.33
Arlatone 2121 <sup>4</sup>	1.00
Cetyl Alcohol CO-1695	0.72
SEFA Cottonate <sup>5</sup>	0.67
Tocopherol Acetate	0.50
Panthenol	0.50
Stearyl Alcohol	0.48
Titanium Dioxide	0.40
Disodium EDTA	0.10
Glydant Plus <sup>6</sup>	0.10
PEG-100 Stearate	0.10
Stearic Acid	0.10
Purified Water	Balance

<sup>1</sup> Isohexadecane, Presperse Inc., South Plainfield, NJ

<sup>2</sup> Polyacrylamide(and)C13-14 Isoparaffin(and) Laureth-7, Seppic Corporation, Fairfield, NJ

<sup>3</sup> dimethicone(and)dimethiconol, Dow Corning Corp. Midland, MI

<sup>4</sup> Sorbitan Monostearate and Sucrococoate, ICI Americas Inc., Wilmington, DE

<sup>5</sup> Sucrose ester of fatty acid

<sup>6</sup> DMDM Hydantoin (and) Iodopropynyl Butylcarbamate, Lonza Inc., Fairlawn, NJ

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#### EXAMPLE 4

[00048] Illustrative of a powdered cosmetic composition according to the present invention is the formula of Table VI.

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TABLE VI

INGREDIENT	WEIGHT %
Polysilicone-11	22.5
Cyclomethicone	54
Petrolatum	11
DMAE Malonate (50% in water)	7
Dimethicone Copolyol	0.5

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#### EXAMPLE 5

[00049] A relatively anhydrous composition according to the present invention is reported in Table VII.

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TABLE VII

INGREDIENT	WEIGHT %
Cyclomethicone	80.65
Dimethicone	9.60
Squalane	6.00
Isostearic Acid	1.90
Borage Seed Oil	0.90
DMAE Malonate (50% in water)	0.50
Retinyl Palmitate	0.25
Ceramide 6	0.10
Tocopherol	0.10

## EXAMPLE 6

- [00050] An aerosol packaged foaming cleanser suitable for the present invention is outlined in Table VIII.

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TABLE VIII

INGREDIENT	WEIGHT %
Sunflower Seed Oil	20.00
Maleated Soybean Oil	5.00
Silicone Urethane	1.00
Polyglycer-4 Oleate	1.00
Sodium C14-16 Olefin Sulfonate	15.00
Sodium Lauryl Ether Sulphate (25% active)	15.00
Cocoamidopropylbetaine	15.00
DC 1784® (Silicone Emulsion 50%)	5.00
Polyquaternium-11	1.00
DMAE Malonate	1.00
Water	Balance

- 10 [00051] An aerosol was prepared using 92% by weight of the concentrate in Table VIII and 8% propellant, the latter being a combination of dimethylether, isobutane and propane.

## EXAMPLE 7

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- [00052] An adhesive cosmetic patch may also be formulated according to the present invention. An adhesive hydrogel is prepared by mixing 30 grams of 2-acrylamido-2-methylpropane sulphonic acid monomer in 20 grams distilled water and 5 grams of a 1% aqueous solution of

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methylene-bis-acrylamide. The solution is then activated with 0.4% magnesium persulphate catalyst. Shortly after mixing the catalyst with the hydrogel solution, 0.1 grams DMAE malonate in 5 ml water is added. The resultant solution is coated onto a 50/50 blend of polypropylene and hydrophilic polyester and allowed to solidify. The resulting deposited hydrogel is warmed for 24 hours at 40°C in a hot air oven. Final water content of the hydrogel is 50%. A polystyrene backing layer is laid over the adhesive hydrogel.

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#### EXAMPLE 8

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[00053] A disposable, single use personal towelette product is described according to the present invention. A 70/30 polyester/rayon non-woven towelette is prepared with a weight of 1.8 grams and dimensions of 15 cm by 20 cm. Onto this towelette is impregnated a composition as outlined in Table IX below.

TABLE IX

INGREDIENT	WEIGHT %
DMAE Malonate	7.50
Glycerin	2.00
Hexylene Glycol	2.00
Disodium Capryl Amphodiacetate	1.00
Gluconolactone	0.90
Silicone Microemulsion	0.85
Witch Hazel	0.50
PEG-40 Hydrogenated Castor Oil	0.50
Fragrance	0.20
Vitamin E Acetate	0.001
Water	Balance

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[00054] The foregoing description and examples illustrate selected embodiments of the present invention. In light thereof variations and modifications will be suggested to one skilled in the art, all of which are within the spirit and purview of this invention.

WHAT IS CLAIMED IS:

1. A cosmetic composition comprising:

- (i) from about 0.0001 to about 30% by weight of a mono-hydroxy  
5 substituted amine salt of malonic acid; and  
(ii) from about 1 to about 99.9% by weight of a cosmetically acceptable carrier.

2. The composition according to claim 1 wherein the salt is formed from an amine which is dimethylaminoethanol.

10 3. The composition according to claim 1 wherein the malonic acid is present as a half neutralized and a fully neutralized acid in a molar ratio ranging from about 1000:1 to about 1:1000, respectively.

15 4. The composition according to claim 3 wherein the molar ratio is about 2:1 to about 1:200.

5. The composition according to claim 1 wherein the pH ranges from about 4 to less than about 7.

6. A cosmetic composition comprising:

- (i) from about 0.0001 to about 30% by weight of a mono-hydroxy substituted amine salt of malonic acid of general formula I, II and mixtures thereof:

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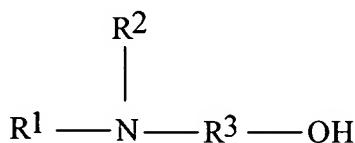


I

II

wherein X is a protonated salt of a mono-hydroxy substituted amine, the amine in non-protonated form having general formula III:

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(III)

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wherein R<sup>1</sup> and R<sup>2</sup> are branched or unbranched C<sub>1</sub>-C<sub>30</sub> radicals selected from the group consisting of alkyl, cycloalkyl, alkenyl, aryl, alkylaryl, alkoxyalkyl and combinations thereof;

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R<sup>3</sup> is a branched or unbranched C<sub>1</sub>-C<sub>30</sub> radical selected from the group consisting of alkylene, cycloalkylene, arylene and combinations thereof; and

R<sup>1</sup> with R<sup>2</sup> can optionally form a ring and independently R<sup>1</sup> with R<sup>3</sup> can form a ring; and

- (ii) from 1 to 99.9% by weight of a cosmetically acceptable carrier.

7. The composition according to claim 6 wherein the amine is dimethylaminoethanol.
8. A dimethylaminoethanol salt of malonic acid.
- 5 9. A method for controlling signs of aging including those selected from the group consisting of fine lines, wrinkles, sagging skin, poor tone and age spots, comprising
  - providing a cosmetic composition comprising:
    - (i) from about 0.0001 to about 30% by weight of a mono-hydroxy substituted amine salt of malonic acid;
    - (ii) from about 1 to about 99.9% by weight of a cosmetically acceptable carrier;
  - applying the cosmetic composition to the skin.

## ABSTRACT OF THE DISCLOSURE

A new cosmetic ingredient, composition and method for cosmetically treating skin is provided which utilizes mono-hydroxy substituted amine salts of malonic acid. Particularly preferred are dimethylaminoethanol (DMAE) salts of malonic acid.